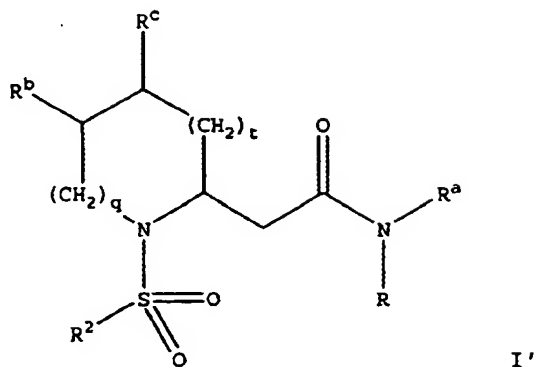


1. A compound of Formula I'



lower alkyl, aryl and heteroaryl, each of which is optionally substituted with one, two or three groups independently selected from lower alkyl,

halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;

wherein  $R^2$  is selected from arylalkenyl, aryl, and

heterocyclyl selected from thienyl, imidazolyl and

5 benzofused heteroaryl, wherein  $R^2$  is optionally

substituted with one to five groups independently

selected from halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  $(C_1-$

$C_6)$ alkylamino, oxo,  $(C_1-C_6)$ alkoxy, haloalkoxy,  $(C_2-$

$C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-C_6)$ alkylamino,  $-C(O)R^a$ , -

10  $COOR^a$ ,  $-C(O)NR^bR^c$ ,  $-NR^bC(O)R^a$ , and

$(C_1-C_6)$ alkyl, aryl, heteroaryl, cycloalkyl and

heterocyclyl, each of which is optionally substituted

with one to three groups independently selected from

halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  $(C_1-C_6)$ alkylamino, halo $(C_1-$

15  $C_6)$ alkyl, oxo,  $(C_1-C_6)$ alkoxy,  $(C_1-C_6)$ alkoxy $(C_1-C_6)$ alkyl,

$(C_1-C_6)$ alkyl,  $(C_2-C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-$

$C_6)$ alkylamino,  $-C(O)R^a$ ,  $-COOR^a$ ,  $-C(O)NR^bR^c$ , and -

$NR^bC(O)R^a$ ;

wherein  $R^a$  is independently selected from H and  $C_{1-4}$ -alkyl,

20 and

aryl optionally substituted with one to three groups

independently selected from halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,

$(C_1-C_6)$ alkylamino, halo $(C_1-C_6)$ alkyl, oxo,  $(C_1-C_6)$ alkoxy,

$(C_1-C_6)$ alkoxy $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkyl,  $(C_2-C_6)$ alkenyl,

25  $(C_2-C_6)$ alkynyl, di $(C_1-C_6)$ alkylamino,  $-C(O)R^a$ ,  $-COOR^a$ ,

$-C(O)NR^bR^c$ , and  $-NR^bC(O)R^a$ ;

wherein each  $R^b$  is independently selected from H, oxo,

hydroxy, benzyloxy and  $C_{1-2}$ -alkyl;

wherein  $R^c$  is independently selected from H and  $C_{1-2}$ -alkyl;

30 or

wherein  $R^b$  and  $R^c$  together with the carbon atoms to which

they are attached form a 6-membered aryl or heteroaryl

ring optionally substituted with one to three groups

independently selected from halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,

- (C<sub>1</sub>-C<sub>6</sub>)alkylamino, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>a</sup>, -COOR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a'</sup>, -NR<sup>a</sup>C(O)R<sup>a'</sup>, and (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>a</sup>, -COOR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a'</sup>, and -NR<sup>a</sup>C(O)R<sup>a'</sup>;
- and pharmaceutically acceptable derivatives thereof; provided the basic moiety is not 2-oxo-piperaziny-4-ylmethyl; further provided wherein R<sup>b</sup> and R<sup>c</sup> do not form a 6-membered aryl when t is 1 and q is 1; further provided the basic substituent is not attached to the bicyclic ring via an oxygen atom; provided R<sup>2</sup> is not 1-methylimidazol-4-yl; .
2. The compound of Claim 1 wherein R is a partially unsaturated carbocyclic ring.
3. The compound of Claim 2 wherein R is 1,2,3,4-tetrahydronaphthyl.
4. The compound of Claim 2 wherein R is indanyl.
5. The compound of Claim 2 wherein R is selected from 1,2,3,4-tetrahydronaphth-1-yl, 1,2,3,4-tetrahydronaphth-2-yl, indan-1-yl and indan-2-yl.
6. The compound of Claim 1 wherein R is partially unsaturated heterocyclyl.

7. The compound of Claim 6 wherein R is chroman.

8. The compound of Claim 6 wherein R is 2,2-dioxo-3,4-dihydro-1H-2,1-benzothiazinyl.

5

9. The compound of Claim 1 wherein R is chroman-4-yl, 5,6,7,8-tetrahydro-quinazolin-5-yl, 5,6,7,8-tetrahydro-[1,6]naphthyridin-4-yl or 2,2-dioxo-3,4-dihydro-1H-2,1-benzothiazin-4-yl.

10

10. The compound of Claim 1 wherein

q is 1 or 2;

t is 0 or 1;

wherein each R<sup>2</sup> is selected from phenyl-CH=CH-,

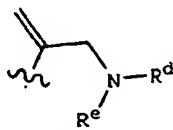
15 tetrahydronaphthyl, naphtho[2.3-d]dioxolyl, benzofuranyl, benzoxadiazolyl, benzothiadiaazolyl, benzothiazolyl, 1H-pyrazolyl, thienyl, isoxazolthienyl, benzothienyl, thieno[3,2-c]pyridinyl, naphthyl, phenyl, pyridinyl, tetrahydroisoquinolinyl, quinolinyl and isoquinolinyl;  
20 wherein R<sup>2</sup> is optionally substituted with one to five groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>a</sup>, -COOR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a'</sup>, -NR<sup>a</sup>C(O)R<sup>a'</sup>, and

25 (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>a</sup>, -COOR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a'</sup>, and -NR<sup>a</sup>C(O)R<sup>a'</sup>;  
30

wherein R<sup>a</sup> is selected from H and C<sub>1-2</sub>-alkyl;

wherein R<sup>b</sup> and R<sup>c</sup> are H;

wherein the basic substituent on R is selected from  
cycloalkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, cycloalkyl(C<sub>1</sub>-



- C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, , heteroarylamino(C<sub>1</sub>-  
C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
5 arylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, alkoxyalkylaminoalkyl,  
hydroxyalkylaminoalkyl, alkenylalkylaminoalkyl,  
aminocarbonylalkylamino-alkyl, carboxyalkylaminoalkyl,  
aryl(C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-  
alkoxy, haloalkylaminoalkyl, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-  
10 C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, 5-8 membered nitrogen-  
containing heterocyclyl, 5-7 membered nitrogen-containing  
heterocyclyl-alkylaminoalkyl and 5-7 membered  
heterocyclyl-alkyl; and wherein each of said basic  
substituents is optionally substituted with one to three  
15 groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN,  
-CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>2</sub>-  
C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -  
COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, and  
(C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, heteroaryl, cycloalkyl or  
20 heterocyclyl, each of which is optionally substituted  
with one to three groups independently selected from  
halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, halo(C<sub>1</sub>-  
C<sub>6</sub>)alkyl, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-  
25 C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, and -  
NR<sup>8</sup>C(O)R<sup>8'</sup>; and

wherein R<sup>d</sup> is selected from alkyl, cycloalkyl,

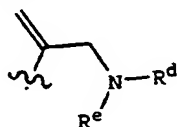
cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, and H;

wherein R<sup>e</sup> is H; or where R<sup>d</sup> and R<sup>e</sup> together with the

- 30 nitrogen atom to which they are attached form a  
heterocyclic ring;

and pharmaceutically acceptable derivatives thereof.

11. The compound of Claim 1 wherein  $R^2$  is selected from phenyl-CH=CH-, tetrahydronaphthyl, naphtho[2.3-d]dioxol-6-yl, 1-benzofur-2-yl, 2,1,3-benzoxadiazol-4-yl, 2,1,3-benzothiadiazaol-4-yl, 1,3-benzothiazol-2-yl, 1H-pyrazol-4-yl, thien-2-yl, 5-isoxazolthien-2-yl, benzothien-2-yl, benzothien-3-yl, thieno[3,2-c]pyridin-2-yl, naphthyl, phenyl, 3-pyridyl, tetrahydroisoquinolyl, quinol-8-yl and isoquinolyl; wherein each  $R^2$  is said optionally substituted; wherein  $R^a$  is H; and wherein the basic substituent on R is selected from  $-NH_2$ ,



,  $C_{3-6}$ -cycloalkyl( $C_1-C_2$ )alkylamino( $C_1-C_2$ )alkyl,  $C_{1-6}$ -cycloalkylamino( $C_1-C_2$ )alkyl, ( $C_1-C_2$ )alkoxy( $C_1-C_2$ )alkylamino( $C_1-C_2$ )alkyl, mono- $C_{2-4}$ -alkenylamino- $C_{1-4}$ -alkyl, di- $C_{2-4}$ -alkenylamino- $C_{1-4}$ -alkyl, hydroxy- $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkyl, aminocarbonyl- $C_{1-4}$ -alkylamino- $C_{1-2}$ -alkyl, mono- $C_{1-6}$ -alkylamino- $C_{1-4}$ -alkyl, di- $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkyl and 5-8 membered heterocyclyl- $C_{1-4}$ -alkyl; wherein each is optionally substituted with one to three groups independently selected from halo,

$-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ , ( $C_1-C_6$ )alkylamino, oxo, ( $C_1-C_6$ )alkoxy, ( $C_2-C_6$ )alkenyl, ( $C_2-C_6$ )alkynyl, di( $C_1-C_6$ )alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ ,  $-NR^8C(O)R^{8'}$ , and ( $C_1-C_6$ )alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ , ( $C_1-C_6$ )alkylamino, halo( $C_1-C_6$ )alkyl, oxo, ( $C_1-C_6$ )alkoxy, ( $C_1-C_6$ )alkoxy( $C_1-C_6$ )alkyl, ( $C_1-C_6$ )alkyl, ( $C_2-C_6$ )alkenyl, ( $C_2-C_6$ )alkynyl, di( $C_1-C_6$ )alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ , and  $-NR^8C(O)R^{8'}$ ;

wherein R<sup>d</sup> is selected from C<sub>1-5</sub>-alkyl, C<sub>3-6</sub>-cycloalkyl, C<sub>3-6</sub>-cycloalkyl-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl and H; and

wherein R<sup>e</sup> is H; or where R<sup>d</sup> and R<sup>e</sup> together with the  
5 nitrogen atom to which they are attached form a 4-8  
membered nitrogen-containing heterocyclic ring;  
and pharmaceutically acceptable derivatives thereof.

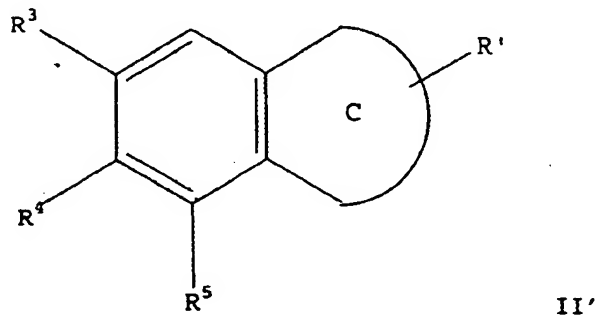
12. The compound of Claim 1 wherein R<sup>a</sup> is H.  
10

13. The compound of Claim 1 wherein the basic  
substituent on R is selected from -NH<sub>2</sub>, aminomethyl,  
aminoethyl, aminopropyl, isopropylaminomethyl, t-  
butylaminomethyl, iso-butylaminomethyl, 1-  
15 methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-  
dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl,  
allyl-aminomethyl, isopropylaminopropyl, 1-  
(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-  
isopropyl-N-ethylaminomethyl, N-isopropyl-N-  
20 methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-  
butyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-  
isobutyl-N-methylaminomethyl, N-t-butyl-N-  
isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-  
dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-  
25 butyl)-aminomethyl, N,N-di(allyl)-aminomethyl,  
cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl,  
cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-  
(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-  
cyclopentylaminoethyl, cyclopropylmethylaminomethyl,  
30 hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-  
allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl,  
pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-  
hydroxypyrrolidin-1-yl-allyl, aminocarbonyl ethylaminomethyl,  
methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-

piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihdropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl.

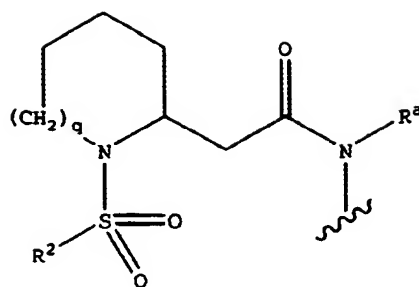
14. The compound of Claim 1 wherein  $R^b$  and  $R^c$  are joined to form a phenyl ring; and wherein  $q$  is 2.

15. A compound of Formula II'



wherein the C ring is a 4- to 7- membered saturated carbocyclic or heterocyclic moiety; wherein  $R'$  is selected from





wherein q is 0-3;

wherein R<sup>2</sup> is selected from arylalkenyl, aryl, and

- 5 heterocyclcyl selected from thienyl, imidazolyl and benzofused heteroaryl, wherein R<sup>2</sup> is optionally substituted with one to five groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, haloalkoxy, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, and
- 10 (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclcyl, each of which is optionally substituted with one to three groups independently selected from
- 15 halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, and -NR<sup>8</sup>C(O)R<sup>8'</sup>;

- 20 wherein R<sup>a</sup> is independently selected from H and C<sub>1-4</sub>-alkyl, or

- aryl optionally substituted with one to three groups selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, and -NR<sup>8</sup>C(O)R<sup>8'</sup>;
- 25

- wherein  $R^3$ ,  $R^4$  and  $R^5$  are the same or different and represent  
H, halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  $(C_1-C_6)$ alkylamino, oxo,  $(C_1-$   
 $C_6)$ alkoxy,  $(C_2-C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-$   
 $C_6)$ alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ ,  $-NR^8C(O)R^{8'}$ , a  
5 basic moiety, or  
 $(C_1-C_2)$ alkyl, aryl, heteroaryl, cycloalkyl or  
heterocyclyl, each of which is optionally substituted  
with one to three groups independently selected from  
halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  $(C_1-C_6)$ alkylamino, halo $(C_1-$   
10  $C_6)$ alkyl, oxo,  $(C_1-C_6)$ alkoxy,  $(C_1-C_6)$ alkoxy $(C_1-C_6)$ alkyl,  
 $(C_1-C_6)$ alkyl,  $(C_2-C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-$   
 $C_6)$ alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ , and  $-$   
 $NR^8C(O)R^{8'}$ ; and  
wherein  $R^8$  and  $R^{8'}$  independently are selected from H, and  
15 lower alkyl, aryl and heteroaryl, each of which is  
optionally substituted with one, two or three groups  
independently selected from lower alkyl, halogen,  
lower alkoxy, hydroxy, amino, mono- or dialkylamino,  
and trifluoromethyl;  
20 provided at least one of  $R^3$ ,  $R^4$  and  $R^5$  is a basic moiety;  
and pharmaceutically acceptable derivatives thereof.

16. The compound of Claim 15 wherein  $R^3$  and  $R^5$  are H; and  
wherein  $R^4$  is selected from  $-NH_2$ , aminomethyl, aminoethyl,  
25 aminopropyl, isopropylaminomethyl, t-butylaminomethyl, iso-  
butylaminomethyl, 1-methylpropylaminomethyl, 2-  
methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl,  
2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl,  
isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-  
30 (isopropylamino)-1-methylethyl, N-isopropyl-N-  
ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-  
butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl,  
N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-  
methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-

di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;  
and pharmaceutically acceptable derivatives thereof.

17. The compound of Claim 15 wherein R<sup>4</sup> and R<sup>5</sup> are H; and wherein R<sup>3</sup> is selected from -NH<sub>2</sub>, aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, iso-butylaminomethyl, 1-methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl,

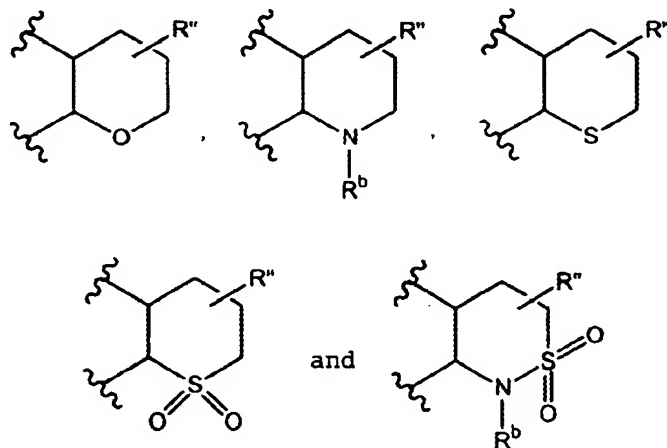
isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl, 5 N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 10 (cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, 15 cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, 30 piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;

and pharmaceutically acceptable derivatives thereof.

18. The compound of Claim 15 wherein R<sup>3</sup> and R<sup>4</sup> are H;  
and wherein R<sup>5</sup> is selected from -NH<sub>2</sub>, aminomethyl,  
aminoethyl, aminopropyl, isopropylaminomethyl, t-  
butylaminomethyl, iso-butylaminomethyl, 1-  
5 methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-  
dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl,  
allyl-aminomethyl, isopropylaminopropyl, 1-  
(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-  
isopropyl-N-ethylaminomethyl, N-isopropyl-N-  
10 methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-  
butyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-  
isobutyl-N-methylaminomethyl, N-t-butyl-N-  
isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-  
dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-  
15 butyl)-aminomethyl, N,N-di(allyl)-aminomethyl,  
cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl,  
cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-  
(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-  
cyclopentylaminoethyl, cyclopropylmethylaminomethyl,  
20 hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-  
allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl,  
pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-  
hydroxypyrrolidin-1-yl-allyl, aminocarbonylaminomethyl,  
methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-  
25 piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-  
dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl,  
4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-  
yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl,  
4-(dimethylamino)piperidin-1-ylmethyl, 2,6-  
30 dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-  
ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-  
1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-  
pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-  
(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-

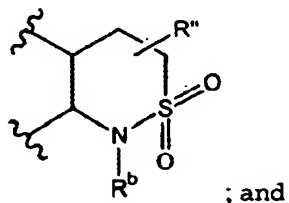
ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl.

- 5            19. The compound of Claim 15 wherein the C ring is selected from



- 10        wherein  $R^b$  is independently selected from  $R'$ , H and  $C_{1-2}$ -alkyl; and  
           wherein  $R''$  is  $R'$  when  $R^b$  is hydrogen or  $C_{1-2}$ -alkyl, or  $R''$  is hydrogen when  $R^b$  is  $R'$ .

- 15            20. The compound of Claim 19 wherein the C ring is



          wherein  $R^b$  is  $R'$ .

21. The compound of Claim 15 wherein R<sup>2</sup> is selected from phenyl-CH=CH-, tetrahydronaphthyl, naphtho[2.3-d]dioxol-6-yl, 1-benzofuran-2-yl, 2,1,3-benzoxadiazol-4-yl, 2,1,3-benzothiadiazol-4-yl, 1,3-benzothiazol-2-yl, 1H-pyrazol-4-yl, thien-2-yl, 5-isoxazolthien-2-yl, benzothien-2-yl, benzothien-3-yl, thieno[3,2-c]pyridin-2-yl, naphthyl, phenyl, 3-pyridyl, tetrahydroisoquinoliny, quinoliny and isoquinoliny;
- wherein each R<sup>2</sup> is optionally substituted with one to five groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, and (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, or -NR<sup>8</sup>C(O)R<sup>8'</sup>.

22. The compound of Claim 15 wherein R<sup>2</sup> is selected from 2-naphthyl, 1-naphthyl, phenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 3,4-dichlorophenyl, 2,4,6-trichlorophenyl, 3-fluorophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-biphenyl, 4'-chlorophenyl-3-phenyl, 3-methylphenyl, 3-trifluoromethylphenyl, 2-chlorobenzothien-3-yl, and 3-pyridyl; wherein R<sup>2</sup> is optionally substituted with one or more groups selected from halo, -NH<sub>2</sub>, -OH, -CO<sub>2</sub>H, (C<sub>1</sub>-C<sub>2</sub>)alkylamino, (C<sub>1</sub>-C<sub>2</sub>)alkoxy, (C<sub>1</sub>-C<sub>2</sub>)alkoxy-(C<sub>1</sub>-C<sub>2</sub>)alkyl, (C<sub>1</sub>-C<sub>2</sub>)alkyl, halo(C<sub>1</sub>-C<sub>2</sub>)alkyl, di(C<sub>1</sub>-C<sub>2</sub>)alkylamino, and phenyl.

23. The compound of Claim 15 wherein R<sup>8</sup> is H.

24. The compound of Claim 15 wherein  $R^2$  is 2-naphthyl.

25. The compound of Claim 15 wherein  $R^2$  is 3,4-dichlorophenyl.

26. The compound of Claim 15 wherein  $R^2$  is 3-trifluoromethylphenyl.

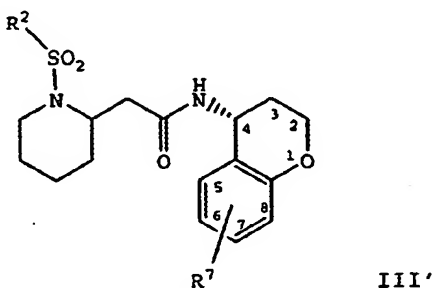
27. The compound of Claim 1 and/or pharmaceutically acceptable derivatives thereof selected from

N-(7-Piperidin-1-ylmethyl-chroman-4-(R)-yl)-2-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-2-yl]-acetamide;

2-[1-(Naphthalene-2-sulfonyl)-piperidin-2-yl]-N-(7-piperidin-1-ylmethyl-chroman-4-(R)-yl)-acetamide; and

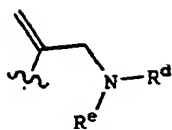
2-[1-(Naphthalene-2-sulfonyl)-pyrrolidin-2-(L)-yl]-N-(7-piperidin-1-ylmethyl-chroman-4-(R)-yl)-acetamide.

28. A compound of Formula III'



wherein  $R^2$  is selected from naphthyl, phenyl, pyridinyl, benzothienyl, quinolinyl and isoquinolinyl, and wherein each is optionally substituted with one to three substituents selected from chloro, fluoro, methoxy, methyl, trifluoromethyl, and phenyl; and





wherein R<sup>7</sup> is selected from C<sub>3-6</sub>-cycloalkyl(C<sub>1</sub>-C<sub>2</sub>)alkylamino(C<sub>1</sub>-C<sub>2</sub>)alkyl, C<sub>1-6</sub>-cycloalkylamino(C<sub>1</sub>-C<sub>2</sub>)alkyl, (C<sub>1</sub>-C<sub>2</sub>)alkoxy(C<sub>1</sub>-C<sub>2</sub>)alkylamino(C<sub>1</sub>-C<sub>2</sub>)alkyl, mono-C<sub>2-4</sub>-alkenylamino-C<sub>1-4</sub>-alkyl, di-C<sub>2-4</sub>-alkenylamino-C<sub>1-4</sub>-alkyl, hydroxy-C<sub>1-4</sub>-alkylamino-C<sub>1-4</sub>-alkyl, aminocarbonyl-C<sub>1-4</sub>-alkylamino-C<sub>1-2</sub>-alkyl, mono-C<sub>1-6</sub>-alkylamino-C<sub>1-4</sub>-alkyl, di-C<sub>1-4</sub>-alkylamino-C<sub>1-4</sub>-alkyl and 5-8 membered heterocyclyl-C<sub>1-4</sub>-alkyl; wherein the 5-8 membered heterocyclyl-(CH<sub>2</sub>)<sub>p</sub> optionally substituted with one to three groups independently selected from halo, -NH<sub>2</sub>, -OH, -CN, -CF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, -C(O)R<sup>8</sup>, -COOR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, =NCN;

wherein R<sup>d</sup> is selected from C<sub>1-5</sub>-alkyl, C<sub>3-6</sub>-cycloalkyl, C<sub>3-6</sub>-cycloalkyl-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl and H; and

wherein R<sup>e</sup> is H; or where R<sup>d</sup> and R<sup>e</sup> together with the nitrogen atom to which they are attached form a 4-8 membered nitrogen-containing heterocyclic ring;

wherein R<sup>7</sup> is at position 6, 7 or 8; and

wherein R<sup>8</sup> and R<sup>8'</sup> independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;

and pharmaceutically acceptable derivatives thereof.

29. The compound of Claim 28 wherein R<sup>7</sup> is selected from aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, isobutylaminomethyl, 1-methylpropylaminomethyl, 2-

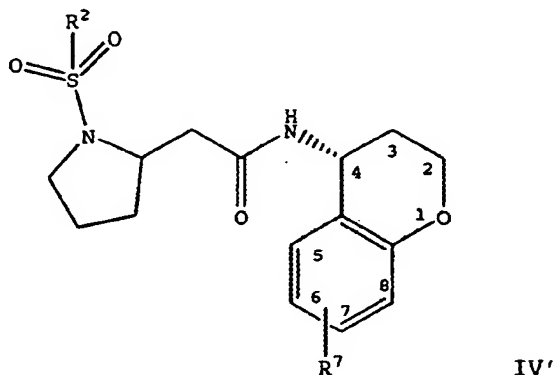
methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl,  
2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl,  
isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-  
(isopropylamino)-1-methylethyl, N-isopropyl-N-  
5 ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-  
butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl,  
N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-  
methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-  
di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-  
10 diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-  
di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-  
(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-  
(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl,  
cyclopentylaminomethyl, 1-cyclopentylaminoethyl,  
15 cyclopropylmethylaminomethyl, hydroxyethylamino-allyl,  
isopropylamino-allyl, t-butylamino-allyl,  
cyclopropylmethylamino-allyl, piperidin-1-yl-allyl,  
pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-  
hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl,  
20 methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-  
piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-  
dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl,  
4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-  
yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl,  
25 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-  
dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-  
ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-  
1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-  
pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-  
30 (methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-  
ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl,  
piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-  
pyrrolidinylethylaminomethyl;

and pharmaceutically acceptable derivatives thereof.

30. The compound of Claim 28 wherein  $R^7$  is at position 7.

5 31. The compound of Claim 28 wherein  $R^2$  is 2-naphthyl, 3,4-dichlorophenyl or 3-trifluoromethylphenyl.

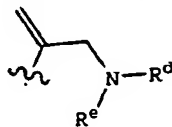
32. A compound of Formula IV'



10

wherein  $R^2$  is selected from naphthyl, phenyl, pyridinyl, benzothienyl, quinolinyl and isoquinolinyl, and wherein each is optionally substituted with one to three substituents selected from chloro, fluoro, methoxy, methyl, trifluoromethyl, and phenyl; and

15



wherein  $R^7$  is selected from  $R^e$ ,  $C_{3-6}$ -cycloalkyl( $C_1$ - $C_2$ )alkylamino( $C_1$ - $C_2$ )alkyl,  $C_{3-6}$ -cycloalkylamino( $C_1$ - $C_2$ )alkyl, ( $C_1$ - $C_2$ )alkoxy( $C_1$ - $C_2$ )alkylamino( $C_1$ - $C_2$ )alkyl, mono- $C_{2-4}$ -alkenylamino- $C_{1-4}$ -alkyl, di- $C_{2-4}$ -alkenylamino- $C_{1-4}$ -alkyl, hydroxy- $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkyl, aminocarbonyl- $C_{1-4}$ -alkylamino- $C_{1-2}$ -alkyl, mono- $C_{1-6}$ -alkylamino- $C_{1-4}$ -alkyl, di- $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkyl and 5-8 membered heterocyclyl- $C_{1-4}$ -alkyl; wherein the 5-8 membered heterocyclyl- $(CH_2)_p$ -

20

- optionally substituted with one to three groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1-\text{C}_6)$ alkylamino, oxo,  $(\text{C}_1-\text{C}_6)$ alkoxy,  $(\text{C}_2-\text{C}_6)$ alkenyl,  $(\text{C}_2-\text{C}_6)$ alkynyl,  $\text{di}(\text{C}_1-\text{C}_6)$ alkylamino,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{COOR}^8$ ,  
5  $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$ ,  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$ ,  $=\text{NCN}$ ;  
wherein  $\text{R}^d$  is selected from  $\text{C}_{1-5}$ -alkyl,  $\text{C}_{3-6}$ -cycloalkyl,  $\text{C}_{3-6}$ -cycloalkyl- $\text{C}_{1-4}$ -alkyl,  $\text{C}_{1-4}$ -hydroxyalkyl,  $\text{C}_{1-3}$ -alkoxy- $\text{C}_{1-3}$ -alkyl and H; and  
wherein  $\text{R}^e$  is H; or where  $\text{R}^d$  and  $\text{R}^e$  together with the  
10 nitrogen atom to which they are attached form a 4-8 membered nitrogen-containing heterocyclic ring;  
wherein  $\text{R}^7$  is at position 6, 7 or 8; and  
wherein  $\text{R}^8$  and  $\text{R}^{8'}$  independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is  
15 optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;  
and pharmaceutically acceptable derivatives thereof.  
20  
33. The compound of Claim 32 wherein  $\text{R}^7$  is selected from aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, isobutylaminomethyl, 1-methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl,  
25 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl,  
30 N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-

di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, 5 cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, 10 methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 15 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-20 (methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;

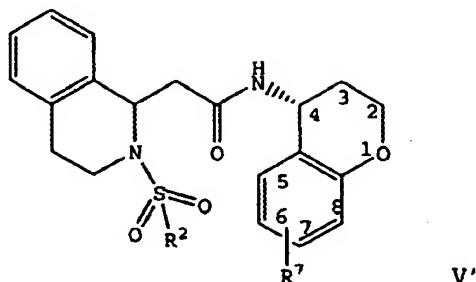
and pharmaceutically acceptable derivatives thereof.

25

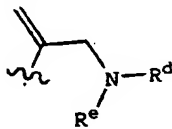
34. The compound of Claim 32 wherein R is at position 7.

35. The compound of Claim 32 wherein R<sup>2</sup> is 2-naphthyl, 30 3,4-dichlorophenyl or 3-trifluoromethylphenyl.

## 36. A compound of Formula V'



- 5 wherein  $\text{R}^2$  is selected from naphthyl, phenyl, pyridinyl, benzothienyl, quinolinyl and isoquinolinyl, and wherein each is optionally substituted with one to three substituents selected from chloro, fluoro, methoxy, methyl, trifluoromethyl, and phenyl; and



- 10 wherein  $\text{R}^7$  is selected from  $\text{R}^e$ ,  $\text{C}_{3-6}$ -cycloalkyl( $\text{C}_1$ - $\text{C}_2$ )alkylamino( $\text{C}_1$ - $\text{C}_2$ )alkyl,  $\text{C}_{3-6}$ -cycloalkylamino( $\text{C}_1$ - $\text{C}_2$ )alkyl, ( $\text{C}_1$ - $\text{C}_2$ )alkoxy( $\text{C}_1$ - $\text{C}_2$ )alkylamino( $\text{C}_1$ - $\text{C}_2$ )alkyl, mono- $\text{C}_{2-4}$ -alkenylamino- $\text{C}_{1-4}$ -alkyl, di- $\text{C}_{2-4}$ -alkenylamino- $\text{C}_{1-4}$ -alkyl, hydroxy- $\text{C}_{1-4}$ -alkylamino- $\text{C}_{1-4}$ -alkyl, aminocarbonyl- $\text{C}_{1-4}$ -alkylamino- $\text{C}_{1-4}$ -alkyl, mono- $\text{C}_{1-6}$ -alkylamino- $\text{C}_{1-4}$ -alkyl, di- $\text{C}_{1-4}$ -alkylamino- $\text{C}_{1-4}$ -alkyl and 5-8 membered heterocyclyl- $\text{C}_{1-4}$ -alkyl; wherein the 5-8 membered heterocyclyl- $(\text{CH}_2)_p$ -optionally substituted with one to three groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  
 20 ( $\text{C}_1$ - $\text{C}_6$ )alkylamino, oxo, ( $\text{C}_1$ - $\text{C}_6$ )alkoxy, ( $\text{C}_2$ - $\text{C}_6$ )alkenyl, ( $\text{C}_2$ - $\text{C}_6$ )alkynyl, di( $\text{C}_1$ - $\text{C}_6$ )alkylamino,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{COOR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$ ,  $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$ ,  $=\text{NCN}$ ;  
 wherein  $\text{R}^d$  is selected from  $\text{C}_{1-5}$ -alkyl,  $\text{C}_{3-6}$ -cycloalkyl,  $\text{C}_{3-6}$ -cycloalkyl- $\text{C}_{1-4}$ -alkyl,  $\text{C}_{1-4}$ -hydroxyalkyl,  $\text{C}_{1-3}$ -alkoxy- $\text{C}_{1-3}$ -alkyl and H; and  
 25

wherein R<sup>c</sup> is H; or where R<sup>d</sup> and R<sup>e</sup> together with the nitrogen atom to which they are attached form a 4-8 membered nitrogen-containing heterocyclic ring; wherein R<sup>f</sup> is at position 6, 7 or 8; and

- 5 wherein R<sup>g</sup> and R<sup>h</sup> independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;
- 10 and pharmaceutically acceptable derivatives thereof.

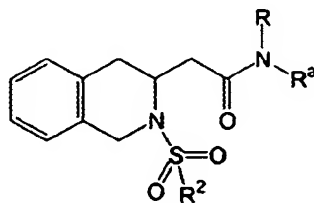
37. The compound of Claim 36 wherein R<sup>f</sup> is selected from aminomethyl, aminoethyl, aminopropyl,
- 15 isopropylaminomethyl, t-butylaminomethyl, isobutylaminomethyl, 1-methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-
- 20 (isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-
- 25 di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl,
- 30 cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-

hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 5 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-10 1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-15 pyrrolidinylethylaminomethyl;  
and pharmaceutically acceptable derivatives thereof.

38. The compound of Claim 36 wherein R is at position 7.

39. The compound of Claim 36 wherein R<sup>2</sup> is 2-naphthyl, 3,4-dichlorophenyl or 3-trifluoromethylphenyl.

40. A compound of Formula VI'



VI'

wherein R is a 9-11 membered fused bicyclic carbocyclic or heterocyclic ring substituted with one to three basic  
30 moieties, and optionally substituted with one to three



groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1-\text{C}_6)$ alkylamino, oxo,  $(\text{C}_1-\text{C}_6)$ alkoxy,  $(\text{C}_2-\text{C}_6)$ alkenyl,  $(\text{C}_2-\text{C}_6)$ alkynyl,  $\text{di}(\text{C}_1-\text{C}_6)$ alkylamino,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{COOR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$ ,  $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$ , and

- 5  $(\text{C}_1-\text{C}_6)$ alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1-\text{C}_6)$ alkylamino, halo $(\text{C}_1-\text{C}_6)$ alkyl, oxo,  $(\text{C}_1-\text{C}_6)$ alkoxy,  $(\text{C}_1-\text{C}_6)$ alkoxy $(\text{C}_1-\text{C}_6)$ alkyl, 10  $(\text{C}_1-\text{C}_6)$ alkyl,  $(\text{C}_2-\text{C}_6)$ alkenyl,  $(\text{C}_2-\text{C}_6)$ alkynyl,  $\text{di}(\text{C}_1-\text{C}_6)$ alkylamino,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{COOR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$ , and  $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$ ;

wherein  $\text{R}^8$  and  $\text{R}^{8'}$  independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is 15 optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;

- wherein  $\text{R}^2$  is selected from arylalkenyl, aryl, and 20 heterocyclyl, wherein  $\text{R}^2$  is optionally substituted with one to five groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1-\text{C}_6)$ alkylamino, oxo,  $(\text{C}_1-\text{C}_6)$ alkoxy,  $(\text{C}_2-\text{C}_6)$ alkenyl,  $(\text{C}_2-\text{C}_6)$ alkynyl,  $\text{di}(\text{C}_1-\text{C}_6)$ alkylamino,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{COOR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$ ,  $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$ , 25 and

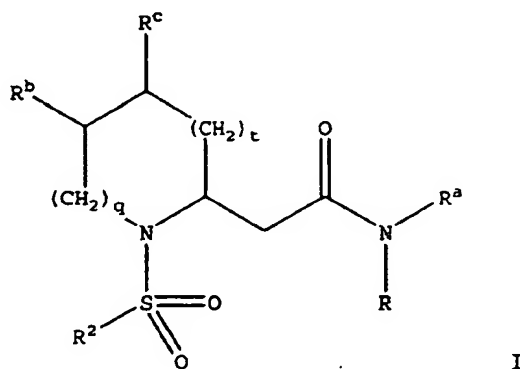
- $(\text{C}_1-\text{C}_6)$ alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1-\text{C}_6)$ alkylamino, halo $(\text{C}_1-\text{C}_6)$ alkyl, oxo,  $(\text{C}_1-\text{C}_6)$ alkoxy,  $(\text{C}_1-\text{C}_6)$ alkoxy $(\text{C}_1-\text{C}_6)$ alkyl, 30  $(\text{C}_1-\text{C}_6)$ alkyl,  $(\text{C}_2-\text{C}_6)$ alkenyl,  $(\text{C}_2-\text{C}_6)$ alkynyl,  $\text{di}(\text{C}_1-\text{C}_6)$ alkylamino,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{COOR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$ , and  $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$ ; and

wherein  $R^a$  is independently selected from H and  $C_{1-4}$ -alkyl,  
 and aryl optionally substituted with one to three groups  
 independently selected from halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  
 $(C_1-C_6)$ alkylamino, halo $(C_1-C_6)$ alkyl, oxo,  $(C_1-C_6)$ alkoxy,  
 5  $(C_1-C_6)$ alkoxy $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkyl,  $(C_2-C_6)$ alkenyl,  
 $(C_2-C_6)$ alkynyl, di $(C_1-C_6)$ alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  
 $-C(O)NR^8R^{8'}$ , and  $-NR^8C(O)R^8$ .

41. The compound of Claim 40 wherein R is selected  
 10 from 1,2,3,4-tetrahydronaphth-1-yl, 1,2,3,4-  
 tetrahydronaphth-2-yl, indan-1-yl and indan-2-yl, chroman-4-  
 yl, and 2,2-dioxo-3,4-dihydro-1H-2, 1-benzothiazin-4-yl.

42. The compound of Claim 40  $R^a$  is selected from H  
 15 and  $(C_1-C_2)$ alkyl.

43. A compound of Formula I



20

wherein q is 0-3;

wherein t is 0-2, provided that when t is 2, q is not 3;

wherein R is a 9-11 membered fused bicyclic carbocyclic or  
 heterocyclic ring substituted with one to three basic  
 25 moieties, and optionally substituted with one to three  
 groups independently selected from halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  
 $-CF_3$ ,  $(C_1-C_6)$ alkylamino, oxo,  $(C_1-C_6)$ alkoxy,  $(C_2-$

$C_6$ )alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-C_6)$ alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ ,  $-NR^8C(O)R^{8'}$ , and

$(C_1-C_6)$ alkyl, aryl, heteroaryl, cycloalkyl or

heterocyclyl, each of which is optionally substituted

5 with one to three groups independently selected from halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  $(C_1-C_6)$ alkylamino, halo $(C_1-C_6)$ alkyl, oxo,  $(C_1-C_6)$ alkoxy,  $(C_1-C_6)$ alkoxy $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkyl,  $(C_2-C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-C_6)$ alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ , and  $-NR^8C(O)R^{8'}$ ;

wherein  $R^8$  and  $R^{8'}$  independently are selected from H, and

lower alkyl, aryl and heteroaryl, each of which is

optionally substituted with one, two or three

groups independently selected from lower alkyl,

15 halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;

wherein  $R^2$  is selected from arylalkenyl, aryl, and

heterocyclyl, wherein  $R^2$  is optionally substituted with

one to five groups independently selected from halo,

20  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  $(C_1-C_6)$ alkylamino, oxo,  $(C_1-C_6)$ alkoxy,  $(C_2-C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-C_6)$ alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ ,  $-NR^8C(O)R^{8'}$ , and

$(C_1-C_6)$ alkyl, aryl, heteroaryl, cycloalkyl and

25 heterocyclyl, each of which is optionally substituted

with one to three groups independently selected from

halo,  $-NH_2$ ,  $-OH$ ,  $-CN$ ,  $-CF_3$ ,  $(C_1-C_6)$ alkylamino, halo $(C_1-C_6)$ alkyl, oxo,  $(C_1-C_6)$ alkoxy,  $(C_1-C_6)$ alkoxy $(C_1-C_6)$ alkyl,

$(C_1-C_6)$ alkyl,  $(C_2-C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, di $(C_1-C_6)$ alkylamino,  $-C(O)R^8$ ,  $-COOR^8$ ,  $-C(O)NR^8R^{8'}$ , and  $-NR^8C(O)R^{8'}$ ;

30 wherein  $R^8$  is independently selected from H and  $C_{1-4}$ -alkyl, and

- aryl optionally substituted with one to three groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,  $\text{halo}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $\text{oxo}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_2\text{-C}_6)\text{alkenyl}$ ,  $(\text{C}_2\text{-C}_6)\text{alkynyl}$ ,  $\text{di}(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,  $-\text{C}(\text{O})\text{R}^a$ ,  $-\text{COOR}^a$ ,  $-\text{C}(\text{O})\text{NR}^a\text{R}^{a'}$ , and  $-\text{NR}^a\text{C}(\text{O})\text{R}^{a'}$ ;
- wherein  $\text{R}^b$  is independently selected from H and  $\text{C}_{1-2}\text{-alkyl}$ ;
- and
- wherein  $\text{R}^c$  is independently selected from H and  $\text{C}_{1-2}\text{-alkyl}$ ;
- or
- wherein  $\text{R}^b$  and  $\text{R}^c$  may be joined to form a 6-membered aryl or heteroaryl ring optionally substituted with one to three groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,  $\text{oxo}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$ ,  $(\text{C}_1\text{-C}_6)\text{alkenyl}$ ,  $(\text{C}_2\text{-C}_6)\text{alkynyl}$ ,  $\text{di}(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,  $-\text{C}(\text{O})\text{R}^a$ ,  $-\text{COOR}^a$ ,  $-\text{C}(\text{O})\text{NR}^a\text{R}^{a'}$ ,  $-\text{NR}^a\text{C}(\text{O})\text{R}^{a'}$ , and  $(\text{C}_1\text{-C}_6)\text{alkyl}$ , aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo,  $-\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{CN}$ ,  $-\text{CF}_3$ ,  $(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,  $\text{halo}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $\text{oxo}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_2\text{-C}_6)\text{alkenyl}$ ,  $(\text{C}_2\text{-C}_6)\text{alkynyl}$ ,  $\text{di}(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,  $-\text{C}(\text{O})\text{R}^a$ ,  $-\text{COOR}^a$ ,  $-\text{C}(\text{O})\text{NR}^a\text{R}^{a'}$ , and  $-\text{NR}^a\text{C}(\text{O})\text{R}^{a'}$ ;
- and pharmaceutically acceptable derivatives thereof; provided the basic moiety is not 2-oxo-piperaziny-4-ylmethyl.

44. The compound of Claim 1 and/or pharmaceutically acceptable derivatives thereof selected from

N-(7-Piperidin-1-ylmethyl-chroman-4-(R)-yl)-2-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-2-yl]-acetamide;

- 2-[1-(Naphthalene-2-sulfonyl)-piperidin-2-yl]-N-(7-piperidin-1-ylmethyl-chroman-4-(R)-yl)-acetamide;
- 2-[1-(Naphthalene-2-sulfonyl)-pyrrolidin-2-(L)-yl]-N-(7-piperidin-1-ylmethyl-chroman-4-(R)-yl)-acetamide;
- 5 N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2;
- N-((1R)-6-((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-
- 10 (trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- N-((1R)-6-((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((4-methylphenyl)sulfonyl)-2-piperidinyl)acetamide;
- 15 2-((2S)-1-((3-chloro-4-methylphenyl)sulfonyl)-2-piperidinyl)-N-((1R)-6-((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)acetamide;
- 2-((2S)-1-((2,4,6-trimethylphenyl)sulfonyl)-2-piperidinyl)-
- 20 N-((1R)-6-((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)acetamide;
- N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((2,4,6-
- 25 trimethylphenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;
- 2-((2S)-1-((3,4-dichlorophenyl)sulfonyl)-2-piperidinyl)-N-((1R)-6-((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)acetamide;
- N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-
- 30 naphthalenyl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- N-((1R)-6-((cyclobutylamino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-

- (trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- N-methyl-N-((4R)-7-(1-piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((2S)-1-((3-
- 5 (trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((4-(1,1-dimethylethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- 10 N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((4-(1,1-dimethylethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- N-((1R)-6-((diethylamino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-
- 15 (trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- N-((1R)-6-(((isobutylamino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-
- (trifluoromethyl)phenyl)sulfonyl)-2-
- 20 piperidinyl)acetamide;
- N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((4-methyl-3-
- (trifluoromethyl)phenyl)sulfonyl)-2-
- piperidinyl)acetamide;
- 25 N-((1R)-6-((cyclopropylamino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-
- (trifluoromethyl)phenyl)sulfonyl)-2-
- piperidinyl)acetamide;
- N-((1R)-6-(((2-methylbutyl)amino)methyl)-1,2,3,4-tetrahydro-
- 30 1-naphthalenyl)-2-((2S)-1-((3-
- (trifluoromethyl)phenyl)sulfonyl)-2-
- piperidinyl)acetamide;
- N-((1R)-6-(((2-(methyloxy)ethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-

- (trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- 5 N-((1R)-6-((cyclopropylmethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- 10 N-((1R)-6-((isopropylmethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- 15 N-((1R)-6-((4-fluoro-1-piperidinyl)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- 20 N-((1R)-6-((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2R/S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;
- 25 N-((1R)-6-((4-fluoro-1-piperidinyl)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2R/S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;
- 30 N-((1R)-6-((cyclopropylmethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2R/S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;
- N-((1R)-6-((isopropylmethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2R/S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;
- N-((1R)-6-((isobutylmethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2R/S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;

- N-((1R)-6-(((diethylamino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2R/S)-1-(3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;
- 5 N-((1R)-6-((4-fluoro-1-piperidinyl)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2R)-1-(4-methylphenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)acetamide;
- 2-((2R/S)-1-(4-methylphenyl)sulfonyl)-1,2,3,4-tetrahydro-2-quinolinyl)-N-((1R)-6-(((2-methylpropyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)acetamide;
- 10 N-((1R)-6-(((2,2-dimethylpropyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-(3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- 15 2-((2S)-1-(1-benzothien-3-ylsulfonyl)-2-piperidinyl)-N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)acetamide;
- 2-((2S)-1-(1-benzothien-3-ylsulfonyl)-2-piperidinyl)-N-((1R)-6-(((2,2-dimethylpropyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)acetamide;
- 20 1-(((5R)-5-(((2S)-1-(3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetyl)amino)-5,6,7,8-tetrahydro-2-naphthalenyl)methyl)-3-piperidinecarboxamide;
- 25 N-((4R)-7-(4-morpholinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((1S)-2-(3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-1-isoquinolinyl)acetamide;
- N-((4R)-7-(7-azabicyclo[2.2.1]hept-7-ylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((1S)-2-(3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-1-isoquinolinyl)acetamide;
- 30 N-((4R)-7-(1-Piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((1R)-2-(3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-1-isoquinolinyl)acetamide;



- N-((4R)-7-((4-Fluoro-1-piperidinyl)methyl)-3,4-dihydro-2H-chromen-4-yl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-pyrrolidinyl)acetamide;
- 5 N-((4R)-7-((4,4-Difluoro-1-piperidinyl)methyl)-3,4-dihydro-2H-chromen-4-yl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-pyrrolidinyl)acetamide;
- 2-((2S)-1-(2-Naphthalenylsulfonyl)-2-piperidinyl)-N-((4R)-7-(1-piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)acetamide;
- 10 N-((4R)-6-chloro-7-(1-piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-pyrrolidinyl)acetamide;
- N-((4R)-7-(1-Piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((3R)-2-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-3-isoquinoliny)acetamide;
- 15 N-((4R)-7-(1-Piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((1S)-2-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-1-isoquinoliny)acetamide;
- N-((4R)-7-(4-Morpholinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((1S)-2-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-1-isoquinoliny)acetamide;
- 20 N-((4R)-7-(7-Azabicyclo[2.2.1]hept-7-ylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((1S)-2-((3-(trifluoromethyl)phenyl)sulfonyl)-1,2,3,4-tetrahydro-1-isoquinoliny)acetamide;
- 25 N-((4R)-7-(1-Piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((2S)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- N-((4R)-7-(1-Piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-2-((2R)-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-piperidinyl)acetamide;
- 30 N-((1R)-6-((1S)-1-methyl-2-(1-piperidinyl)ethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-

(trifluoromethyl)phenyl)sulfonyl)-2-  
piperidiny)acetamide; and  
N-((1R)-6-(1-(1-piperidinylmethyl)ethenyl)-1,2,3,4-  
tetrahydro-1-naphthalenyl)-2-((2S)-1-((3-  
5 (trifluoromethyl)phenyl)sulfonyl)-2-  
piperidiny)acetamide.

45. A pharmaceutically acceptable salt of a compound  
of Claim 1.

46. A pharmaceutical composition comprising a  
pharmaceutically acceptable carrier and a compound of Claim  
1.

47.  
15 ~~46.~~ A method of treating pain comprising administering  
an effective amount of a compound of Claim 1.

48.  
20 ~~47.~~ A pharmaceutical composition for the treatment of  
disease conditions mediated by bradykinin, in a mammalian  
subject, which comprises a therapeutically effective amount  
of a compound according to Claim 1 and a pharmaceutically  
acceptable carrier.

49.  
25 ~~48.~~ A pharmaceutical composition for the treatment of  
inflammation, rheumatoid arthritis, cystitis, post-traumatic  
and post ischemic cerebral edema, liver cirrhosis,  
Alzheimer's disease, cardiovascular disease, pain, common  
cold, allergies, asthma, pancreatitis, burns, virus  
infection, head injury, multiple trauma, rhinitis,  
hepatorenal failure, diabetes, metastasis, pancreatitis,  
30 neovascularization, corneal haze, glaucoma, ocular pain,  
ocular hypertension or angio edema, which comprises a  
therapeutically effective amount of a compound of Claim 1  
and a pharmaceutically acceptable carrier.

50. ~~49.~~ A method for the treatment of disease conditions mediated by bradykinin, in a mammalian subject, which comprises administering to said subject a therapeutically effective amount of a compound according to Claim 1.
- 5 51. ~~50.~~ A method for the treatment of inflammation, rheumatoid arthritis, cystitis, post-traumatic and post ischemic cerebral edema, liver cirrhosis, Alzheimer's disease, cardiovascular disease, pain, common cold, allergies, asthma, pancreatitis, burns, virus infection,  
10 head injury, multiple trauma, rhinitis, hepatorenal failure, diabetes, metastasis, pancreatitis, neovascularization, corneal haze, glaucoma, ocular pain, ocular hypertension or angio edema, in a mammalian subject, which comprises administering to said subject a therapeutically effective  
15 amount of a compound according to Claim 1.
52. ~~51.~~ A pharmaceutical formulation comprising a compound according to Claim 1, a pharmaceutically acceptable carrier and, optionally, one or more other pharmacologically active ingredients.
- 20 53. ~~52.~~ A method of treating, preventing, or ameliorating a disease or condition associated with B1 activity comprising administering to a human or animal subject a therapeutically effective amount of a compound according to  
25 Claim 1.
54. ~~53.~~ The method according to claim 52 wherein the disease or condition is selected from the group consisting of inflammation, inflammatory pain, acute pain, dental pain,  
30 back pain, lower back pain, pain from trauma, surgical pain, inflammatory bowel disorders, asthma, and allergic rhinitis.

55. ~~54.~~ The use of a compound according to Claim 1 in the manufacture of a medicament for the treatment of a disease or condition selected from the group consisting of group consisting of inflammation, inflammatory pain, acute pain, dental pain, back pain, lower back pain, pain from trauma, surgical pain, inflammatory bowel disorders, asthma, and allergic rhinitis.

56. ~~55.~~ A compound as in Claim 1 for use in a method of therapeutic treatment for the human or animal body.